

Product Data Sheet

Product Name: NCGC00244536

Cat. No.: GC19410

Chemical Properties

Cas. No. 2003260-55-5

Chemical Name Benzamide, 3-(8-hydroxy-6-quinoliny)-N-(3-phenylpropyl)-

SMILES O=C(NCCCC1=CC=CC=C1)C2=CC=CC(C3=CC(O)=C4N=CC=CC4=C3)=C2

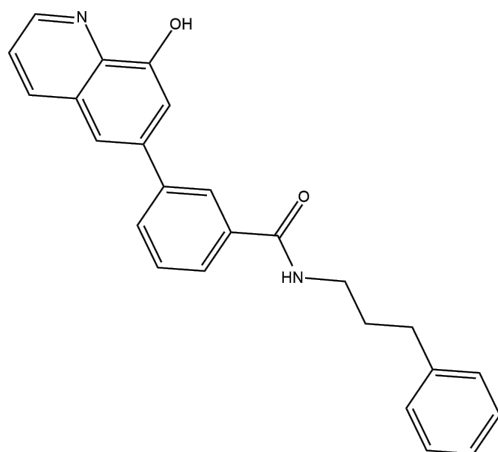
Formula $C_{25}H_{22}N_2O_2$ M.Wt 382.45

Solubility DMF: 30 mg/ml, DMF:PBS (pH 7.2) (1:2): 0.33 mg/ml, DMSO: 20 mg/ml Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment: LNCaP cells are treated with 0.1, 0.2, 1, 2.5, 5, 20 µM of NCGC00244536. Cell viability is measured using the MTT assay[1].

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Animal experiment: Mouse: For xenograft animal model, PC3 cell suspension is injected into 4-6 weeks old severe combined immunodeficient (SCID) mice. When tumors become palpable, animals are randomly grouped for drug treatment. Alzet osmotic minipump containing NCGC00244536 (20 mg/kg) is subcutaneously inserted into each animal, which allowed continuous drug delivery to the tumor site for up to 5 days. Tumor volume is recorded every other days and calculated by using the ellipsoid formula[1].

References:

[1]. Duan L, et al. KDM4/JMJD2 Histone Demethylase Inhibitors Block Prostate Tumor Growth by Suppressing the Expression of AR and BMYB-Regulated Genes. Chem Biol. 2015 Sep 17;22(9):1185-96.

Background

NCGC00244536 is a potent KDM4B inhibitor with an IC₅₀ of 10 nM.

NCGC00244536 displays high selectivity for the fast-growing AR-negative PC3 cells (IC₅₀=40 nM) and over 100-fold selectivity against the immortalized prostate epithelial cell lines PrEC1 and PrEC4. NCGC00244536 effectively inhibits AR-positive cell lines, including LNCaP and VCaP, with IC₅₀s in the sub-micromolar range, and abolishes androgen-stimulated LNCaP cell growth. NCGC00244536 is also potent in inhibiting the growth of other cancer cell lines, including the breast cancer cell lines MDA-MB2 and MCF-7, with micromolar IC₅₀s[1]

Treatment with NCGC00244536 results in significant inhibition of tumor growth and animals do not exhibit any major toxicity and appear to be normal. Histological data clearly indicate that NCGC00244536-treated tumors have significant amount of cell apoptosis, necrosis, and fibrosis[1].

Reference

[1]. Duan L, et al. KDM4/JMJD2 Histone Demethylase Inhibitors Block Prostate Tumor Growth by Suppressing the Expression of AR and BMYB-Regulated Genes. Chem Biol. 2015 Sep 17;22(9):1185-96.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA